Claims

1. Pharmaceutical cream preparation in the form of an oil-in-water (o/w) emulsion for topical application in the treatment and/or prevention of skin diseases, characterized in that said preparation contains the following constituents in the lipophilic phase:

(i) as the active ingredient, an optionally substituted 1-phenyl-2-(1H)-pyridone compound or a pharmaceutically acceptable salt thereof,

(ii) at least one surface-active solubilizer with an HLB value in the range 15-20,

(iii) at least one emulsifier with an HLB value in the range 8-15, and

(iv) optionally other excipients and additives known per se and selected from the group comprising triglycerides, penetration enhancers, preservatives and anti-oxidants.

2. Preparation according to Claim 1, characterized in that it contains the oily phase in a proportion ranging from 20 to 80% by weight and the aqueous phase in a proportion ranging from 80 to 20% by weight, based on the total weight of the preparation according to the invention.

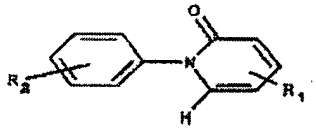
3. Preparation according to Claim 1, characterized in that it contains the oily phase in a proportion ranging from 24.1 to 84.1% by weight and the aqueous phase in a proportion ranging from 75.9 to 15.9% by weight, and preferably contains the oily phase in a proportion ranging from 37.2 to 65% by weight and the aqueous phase in a proportion ranging from 35 to 62.8% by weight, based on the total weight of the preparation according to the invention.

4. Preparation according to one of Claims 1-3, characterized in that it contains the active ingredient [component (i)] in an amount of 0.5-9% by weight and preferably in an amount of 3-7% by weight, based on the total weight of the preparation.

5. Preparation according to one of Claims 1-4, characterized in that it contains the surface-active solubilizer [component (ii)] in a concentration of 5-65% by weight and preferably in a concentration of 10-45% by weight, based on the total weight of the preparation.

6. Preparation according to one of Claims 1-5, characterized in that it contains the emulsifier [component (iii)] in a concentration of 3-30% by weight and preferably in a concentration of 5-12.5% by weight, based on the total weight of the preparation.

7. Preparation according to one of Claims 1-6, characterized in that it contains as the active ingredient a substituted pyridone of general formula (I):



or a pharmaceutically acceptable salt thereof, in which R_1 and R_2 independently of one another are (C_1-C_4)alkyl, carboxyl (-COOH) or -COOalkyl(C_1-C_4) and R_2 is also hydrogen.

8. Preparation according to Claim 7, characterized in that (C_1-C_4)alkyl R_1 and R_2 independently of one another are methyl, ethyl, propyl, isopropyl, n-butyl, sec-butyl or t-butyl and, if R_1 and/or R_2 are a radical -COOalkyl(C_1-C_4), the (C_1-C_4)alkyl radical therein has one of the meanings given above for R_1 and/or R_2.

9. Preparation according to Claim 7, characterized in that it contains as the active ingredient a compound of formula (I) in which R_1 is (C_1-C_4)alkyl and R_2 is hydrogen or (C_1-C_4)alkyl, and preferably in which R_1 is methyl and R_2 is hydrogen.

10. Preparation according to one of Claims 1-9, characterized in that the active ingredient as a pharmaceutically acceptable salt as an alkali metal or alkaline earth metal salt of the carboxyl-substituted compound of formula (I), preferably is the sodium or magnesium salt; or a salt of the compound of formula I which does not

caontain a carboxyl group with oxalic acid or succinic acid.

11. Preparation according to one of Claims 1-10, characterized in that it contains one of the following compounds as the active ingredient:
5-methyl-1-p-tolyl-2-(1H)-pyridone
3-methyl-1-phenyl-2-(1H)-pyridone
3-ethyl-1-phenyl-2-(1H)-pyridone
4-isopropyl-1-phenyl-2-(1H)-pyridone
5-methyl-1-phenyl-2-(1H)-pyridone
3-methyl-1-carboxyphenyl-2-(1H)-pyridone
5-carboxy-1-phenyl-2-(1H)-pyridone
4-carboxymethyl-1-phenyl-2-(1H)-pyridone
5-t-butyl-1-(p-carboxyethylphenyl)-2-(1H)-pyridone.

12. Preparation according to one of Claims 1-11, characterized in that the surface-active solubilizer is selected from the group comprising diethylene glycol monoethyl ether, polyethylene/propylene glycol copolymers, cyclodextrins, glyceryl monostearates, sorbitan esters, polyoxyethylenesorbitan acid esters, polyvinyl alcohol, sodium laurylsulfate (anionic) and glyceryl monooleates.

13. Preparation according to one of Claims 1-12, characterized in that the emulsifier is selected from the group comprising anionic and non-ionic emulsifiers, anionic emulsifying waxes, cetyl alcohol, cetylstearyl alcohol, stearic acid, oleic acid, polyoxyethylene/polyoxypropylene block polymers, addition products of 2 to 60 mol of ethylene oxide and castor oil and/or hydrogenated castor oil, wool wax oil (lanolin), sorbitan esters, polyoxyethylenalkyl esters, polyoxyethylenesorbitan fatty acid esters and polyvinyl alcohol, and preferably from glycerol monooleate and stearic acid.

14. Preparation according to one of Claims 1-13, characterized in that the triglyceride is selected from the group comprising medium-chain and high-molecular triglycerides, and preferably medium-chain triglycerides in the form of glycerol esters of fatty acids having 6-12 carbon atoms, caprylic/capric acid triglyceride being particularly preferred.

15. Preparation according to one of Claims 1-14, characterized in that the penetration enhancer is selected from the group comprising isopropyl myristate, oleic acid, sodium laurylsulfate and 1,2-propanediol, the last of these being preferred.

16. Preparation according to one of Claims 1-15, characterized in that it also contain superfatting agents, solvents, consistency regulators and/or hydrotropic agents.

17. Preparation according to one of Claims 1-16, characterized in that it contains the following components:

(a) 3-7% by weight of active ingredient
(b) 3-30% by weight of emulsifier
(c) 5-65% by weight of surface-active solubilizer
(d) 5-30% by weight of triglyceride
(e) 2-20% by weight of penetration enhancer
(f) 2-20% by weight of superfatting agent
(g) 3-30% by weight of consistency regulator
(h) 0.01-3% by weight of preservative
(i) 0.1-5% by weight of antioxidant
(k) 1-50% by weight of solvent
(l) purified water ad 100% by weight (i.e. 20-80% by weight and especially 15.9-75.9% by weight of water).

18. Preparation according to one of Claims 1-16, characterized in that it contains the following components:

- 3-7% by weight of active ingredient
- 5-12.5% by weight of cetylstearyl alcohol
- 10-45% by weight of macrogol 15-hydroxystearate
- 7-20% by weight of medium-chain triglyceride
- 3-10% by weight of propanediol
- 3-10% by weight of decyl oleate
- 5-12.5% by weight of stearic acid
- 0.02-3% by weight of sodium methylparaben and sodium propylparaben

- 0.2-3% by weight of sodium metabisulfite
- 1-50% by weight of solvent
- purified water ad 100% by weight.

19. Process for the production of a preparation according to one of Claims 1-18, characterized in that the lipophilic constituents are melted together and the melt is heated to 60-80°C in one apparatus, and the aqueous phase is heated to the same temperature in a separate apparatus, the aqueous phase is then incorporated into the oily phase and the mixture is emulsified until homogeneous and stirred until it forms a semisolid cream, the pH optionally being adjusted to 5-7.5.

20. Use of the preparation according to one of Claims 1-18 as a topical cream preparation for the treatment or prophylaxis of skin diseases, preferably for the treatment and prophylaxis of skin diseases of a fibrotic nature, especially fibrous lesions, multiple warts, contact dermatitis and keloids, for promoting the healing of burns and for postoperative wound care.

Translator's Report

Your order ref.: P1085PCT–AB/Ma

Date: 09/02/2005

Page	Para	Line	Comment
4		10	in = im
7		21,22	Polyethylpropylenglykol = Polyethylenpropylenglykol?
9		21	Polypropylenglykol = Polypropylenglykol in Frage?
9		28	Hydrotope = Hydrotrope
10		5	gereinigte = gereinigtes
11		28	Damfbad = Dampfbad
16		4	Stearylsäure = Stearinsäure
21		7-13	Please check syntax (main verb missing).
21		16	dass als = dass diese als
21		31	Polyethylpropylenglykol = Polyethylenpropylenglykol?
22		26	enthalten = enthält
23		1	(k) = (j)?
23		2	(l) = (k)? gereinigte = gereinigtes
23		6	dass die = dass diese die
23		27	gegebenfalls = gegebenenfalls

Note: Minor errors are rectified without comment. Material errors are rectified except in the case of verified translations, when they are reproduced where possible.